

M/39152-05

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<p>95-016148/03 B07 C07 ROQF 93.05.28          ROQUETTE FRERES SA *FR 2705677-A1          93.05.28 93FR-006430 (94.12.02) C08J 3/12, A01N 25/12, A61K 9/16,          47/40, C05G 5/00 (C08L 5:16)          Microgranules produced by extrusion and spheronisation - contg.          cyclodextrin, useful for controlled release of medicaments or          agrochemicals          C95-007116          Addnl. Data: GIORDANO F, GAZZANIGA A, FOSSATIE, LEFEVRE P</p>	<p>BC(4-C2B1, 10-E4D, 12-M10, 12-M11D) C(4-C2B1,          12-M11D) .5</p>
<p>Microgranules produced by extrusion and spheronisation contain ≥1          cyclodextrin (I) as an excipient.          Also claimed is the prodn. of microgranules by introducing (I)          into a mixer, opt. together with other excipients and/or active          ingredients; adding H<sub>2</sub>O and/or EtOH; extruding the mixt.;          introducing the extrudates into a spheroniser to form spherical          microgranules; and drying the microgranules.</p>	<p>The microgranules dissolve more rapidly than those based on          microcrystalline cellulose (MC) while still providing controlled          release of active ingredients due to cyclodextrin clathrate formation.</p>
<p><u>USE</u>          The microgranules are useful as carriers for pharmaceuticals,          veterinary medicaments or agrochemicals.</p>	<p><u>PREFERRED GRANULES</u>          The granules contain 1-98 (esp. 10-90) wt. % of (I), esp. β-          cyclodextrin (Ia), opt. together with other excipients (esp. MC),          lubricants, disintegrants and/or glidants. The granules may be coated          with a soln. contg. sugars, polymers, waxes and/or lipid derivs., pref.          also contg. (I).</p>
<p><u>ADVANTAGE</u></p>	<p><u>EXAMPLE</u>          A Patterson-Kelley high-speed granulator was charged with 30kg          (Ia) and supplied with 10 litres H<sub>2</sub>O/EtOH (1:1) at a rate of 1 l/min.          The mixt. was blended for 30 mins. and passed to a NICA E4 extruder          with a die orifice size of 1 mm. The extrudates were processed in a          NICA S2-450 spheroniser at 500 rpm for 9 mins. The granules were          dried in a fluidised bed at 70°C for 30 mins.          (18pp367DwgNo.0/0)</p>

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